

=> d his

FILE 'REGISTRY' ENTERED AT 11:27:11 ON 02 JUN 2004  
L1 STR  
L2 1 S L1  
L3 SCR 1839 AND 1599  
L4 0 L1 AND L3

FILE 'HCAPLUS' ENTERED AT 12:12:05 ON 02 JUN 2004  
L5 1 S US20030232886/PN

FILE 'REGISTRY' ENTERED AT 12:12:22 ON 02 JUN 2004

FILE 'HCAPLUS' ENTERED AT 12:12:30 ON 02 JUN 2004  
L6 TRA L5 1- RN : 32 TERMS

FILE 'REGISTRY' ENTERED AT 12:12:30 ON 02 JUN 2004  
L7 32 SEA L6

FILE 'USPATFULL, USPAT2' ENTERED AT 12:12:57 ON 02 JUN 2004  
L8 1 S US20030232886/PN

FILE 'WPIX' ENTERED AT 12:13:08 ON 02 JUN 2004  
L9 1 S US20030232886/PN

=> b hcap

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FILE COVERS 1907 - 2 Jun 2004 VOL 140 ISS 23  
FILE LAST UPDATED: 1 Jun 2004 (20040601/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d all 15

L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:98451 HCAPLUS  
DN 134:147313  
ED Entered STN: 09 Feb 2001  
TI Preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid and salts thereof.  
IN Oikawa, Miyuki; Ushio, Hideki; Kurimoto, Isao; Higashi, Takayuki  
PA Sumitomo Chemical Company, Limited, Japan

*Janie Dimentor*

SO Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DT Patent

LA English

IC ICM C07C051-02

ICS C07C051-43; C07C051-41; C07C059-115; C07C251-24; C07C217-58;  
C07C211-27; C07C215-30; C07C211-29

CC 23-16 (Aliphatic Compounds)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1074539	A2	20010207	EP 2000-116789	20000803
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001106661	A2	20010417	JP 2000-224998	20000726
	JP 2001213843	A2	20010807	JP 2000-232771	20000801
	US 6403832	B1	20020611	US 2000-632804	20000804
	US 2002143212	A1	20021003	US 2002-147966	20020520
	US 6653507	B2	20031125		
	US 2003232886	A1	20031218	US 2003-603941	20030626 <--
PRAI	JP 1999-221065	A	19990804		
	JP 1999-333924	A	19991125		
	US 2000-632804	A3	20000804		
	US 2002-147966	A3	20020520		

OS MARPAT 134:147313

AB F3C(Me)C\*(OH)CO2- H2N+(R3)CH\*R1R2 [R1 = alkyl, hydroxyalkyl, (substituted) aryl; R2 = alkyl, hydroxyalkyl, (substituted) aralkyl; R3 = H, alkyl, hydroxyalkyl, cyclohexyl, (substituted) aralkyl; starred atoms are independently in the S- or R-configuration; R1 ≠ R2; when R1 = Ph and R2 = Me, then R3 ≠ H], and (S)- and (R)-3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid, were prepared Thus, racemic 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid in MeOCMe3 at 55° was treated with (S)-N-benzyl-1-phenyl-2-(p-tolyl)ethylamine in MeOCMe3 followed by cooling to 20° over 3 h to give (R)-3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid (S)-N-benzyl-1-phenyl-2-(p-tolyl)ethylamine salt in 95% enantiomeric excess. This was stirred with aqueous NaOH and MeOCMe3 followed by separation of the layers and treatment of the aqueous layer with aqueous HCl and MeOCMe3 followed by isolation of the MeOCMe3 layer and concentration to give (R)-3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid in

95%

enantiomeric excess.

ST hydroxytrifluoromethylpropionate optically active prepn; propionate

hydroxy trifluoromethyl optically active prepn; resoln

trifluoromethylhydroxypropionate

IT Resolution (separation)

(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid and salts thereof)

IT Imines

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid and salts thereof)

IT 24435-45-8P, (S)-3,3,3-Trifluoro-2-hydroxy-2-methylpropionic acid

44864-47-3P 323179-37-9P

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid and salts thereof)

IT 67-36-7, 4-Phenoxybenzaldehyde 374-35-6, 3,3,3-Trifluoro-2-hydroxy-2-

methylpropionic acid 492-41-1 1700-37-4, 3-Benzyloxybenzaldehyde

2627-86-3, (S)-α-Methylbenzylamine 4397-53-9, 4-

Benzoyloxybenzaldehyde 39515-51-0, 3-Phenoxybenzaldehyde 133773-29-2,  
(R)-2,4-Dichloro- $\alpha$ -Methylbenzylamine 323179-39-1 323179-42-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid and salts thereof)

IT 81479-18-7P 323179-23-3P 323179-24-4P 323179-25-5P 323179-26-6P  
323179-27-7P 323179-28-8P 323179-29-9P 323179-30-2P 323179-31-3P  
323179-32-4P 323179-33-5P 323179-34-6P 323179-35-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid and salts thereof)

IT 323179-36-8P 323179-38-0P 323179-40-4P 323179-41-5P 323179-43-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid and salts thereof)

=> b uspatall

FILE 'USPATFULL' ENTERED AT 12:14:14 ON 02 JUN 2004

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FILE 'USPAT2' ENTERED AT 12:14:14 ON 02 JUN 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs ind l8

L8 ANSWER 1 OF 1 USPATFULL on STN

AN 2003:330671 ~~USPATFULL~~

TI Process for producing optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid, and salt thereof

IN Oikawa, Miyuki, Osaka, JAPAN

Ushio, Hideki, Osaka, JAPAN

Kurimoto, Isao, Osaka, JAPAN

Higashii, Takayuki, Osaka, JAPAN

PA Sumitomo Chemical Company, Limited (non-U.S. corporation)

PI US 2003232886 A1 20031218 <--

AI US 2003-603941 A1 20030626 (10)

RLI Division of Ser. No. US 2002-147966, filed on 20 May 2002, PENDING

Division of Ser. No. US 2000-632804, filed on 4 Aug 2000, GRANTED, Pat.  
No. US 6403832

PRAI JP 1999-221065 19990804

JP 1999-333924 19991125

DT Utility

FS APPLICATION

LREP BIRCH STEWART KOLASCH & BIRCH, PO BOX 747, FALLS CHURCH, VA, 22040-0747

CLMN Number of Claims: 4

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1950

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There are disclosed imine compounds of formula (7) and (11), and  
processes for preparing the same: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

INCL INCLM: 514/557.000

INCLS: 562/586.000

NCL NCLM: 514/557.000

NCLS: 562/586.000

IC [7]

ICM: A61K031-19  
ICS: C07C059-00

CHEMICAL ABSTRACTS INDEXING COPYRIGHT 2004 ACS on STN

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PATENT KIND DATE  
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OS CA 134:147313 \* EP 1074539 A2 20010207  
\* CA Indexing for this record included  
CC 23-16 (Aliphatic Compounds)  
ST hydroxytrifluoromethylpropionate optically active prepn; propionate  
hydroxy trifluoromethyl optically active prepn; resolu  
trifluoromethylhydroxypropionate  
IT Resolution (separation)  
(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic  
acid and salts thereof)  
IT Imines  
(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic  
acid and salts thereof)  
IT 24435-45-8P, (S)-3,3,3-Trifluoro-2-hydroxy-2-methylpropionic acid  
44864-47-3P 323179-37-9P  
(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic  
acid and salts thereof)  
IT 67-36-7, 4-Phenoxybenzaldehyde 374-35-6, 3,3,3-Trifluoro-2-hydroxy-2-  
methylpropionic acid 492-41-1 1700-37-4, 3-Benzyloxybenzaldehyde  
2627-86-3, (S)- $\alpha$ -Methylbenzylamine 4397-53-9,  
4-Benzyloxybenzaldehyde 39515-51-0, 3-Phenoxybenzaldehyde  
133773-29-2, (R)-2,4-Dichloro- $\alpha$ -Methylbenzylamine 323179-39-1  
323179-42-6  
(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic  
acid and salts thereof)  
IT 81479-18-7P 323179-23-3P 323179-24-4P 323179-25-5P 323179-26-6P  
323179-27-7P 323179-28-8P 323179-29-9P 323179-30-2P 323179-31-3P  
323179-32-4P 323179-33-5P 323179-34-6P 323179-35-7P  
(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic  
acid and salts thereof)  
IT 323179-36-8P 323179-38-0P 323179-40-4P 323179-41-5P 323179-43-7P  
(preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic  
acid and salts thereof)

=> b wpix

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FILE LAST UPDATED: 27 MAY 2004 <20040527/UP>  
MOST RECENT DERWENT UPDATE: 200434 <200434/DW>  
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NUMBERS. SEE ALSO:  
<http://www.stn-international.de/archive/stnews/news0104.pdf> <<<

>>> SINCE THE FILE HAD NOT BEEN UPDATED BETWEEN APRIL 12-16  
THERE WAS NO WEEKLY SDI RUN <<<

=> d all 19

L9 ANSWER 1 OF 1 WPIX COPYRIGHT 2004 THOMSON DERWENT on STN

AN 2001-184350 [19] WPIX

DNC C2001-055407

TI New optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid  
derivatives useful as intermediates for pharmaceuticals for treatment of  
urinary incontinence and agrochemicals.

DC B05 C03

IN HIGASHI, T; KURIMOTO, I; OIKAWA, M; USHIO, H; HIGASHII, T

PA (SUMO) SUMITOMO CHEM CO LTD

CYC 27

PI EP 1074539 A2 20010207 (200119)\* EN 28 C07C051-02

R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT

RO SE SI

JP 2001106661 A 20010417 (200128) 8 C07C217-58

JP 2001213843 A 20010807 (200150) 11 C07C051-487

US 6403832 B1 20020611 (200244) C07C211-00

US 2002143212 A1 20021003 (200267) C07C211-00

US 6653507 B2 20031125 (200378) C07C211-00

US 2003232886 A1 20031218 (200401) A61K031-19 <--

ADT EP 1074539 A2 EP 2000-116789 20000803; JP 2001106661 A JP 2000-224998

20000726; JP 2001213843 A JP 2000-232771 20000801; US 6403832 B1 US

2000-632804 20000804; US 2002143212 A1 Div ex US 2000-632804 20000804, US

2002-147966 20020520; US 6653507 B2 Div ex US 2000-632804 20000804, US

2002-147966 20020520; US 2003232886 A1 Div ex US 2000-632804 20000804, Div

ex US 2002-147966 20020520, US 2003-603941 20030626

FDT US 2002143212 A1 Div ex US 6403832; US 6653507 B2 Div ex US 6403832; US

2003232886 A1 Div ex US 6403832

PRAI JP 1999-333924 19991125; JP 1999-221065 19990804

IC ICM A61K031-19; C07C051-02; C07C051-487; C07C211-00; C07C217-58

ICS C07C051-41; C07C051-43; C07C059-00; C07C059-115; C07C209-00;

C07C211-27; C07C211-29; C07C213-02; C07C215-30; C07C249-02;

C07C251-24

ICA C07B057-00

ICI C07M007:00

AB EP 1074539 A UPAB: 20010405

NOVELTY - Optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic  
acid derivatives (I) are new.

DETAILED DESCRIPTION - Optically active 3,3,3-trifluoro-2-hydroxy-2-  
methylpropionic acid derivatives of formula (I) are new.

asterisk = asymmetric C atom in S or R configuration;  
R1 = lower alkyl (optionally substituted by OH) or optionally substituted aryl;  
R2 = lower alkyl (optionally substituted by OH) or optionally substituted aralkyl;  
R3 = lower alkyl (optionally substituted by OH), H, cyclohexyl or optionally substituted aralkyl;  
provided that R1 and R2 are not the same and when R1 is phenyl and R2 is Me, then R3 is not H.

INDEPENDENT CLAIMS are included for the following:

- (1) preparation of (I);
- (2) preparation of optically active 3,3,3-trifluoro-2-hydroxy-2-methylpropionic acid (II);
- (3) new optically active amine derivatives of formula (III);
- (4) preparation of (III);
- (5) new imine compounds of formula (IV);
- (6) preparation of (IV);
- (7) new optically active amine compounds of formula (V);
- (8) preparation of (V);
- (9) new imine compounds of formula (VI) and
- (10) preparation of (VI).

R11 = aryl (optionally substituted by at least one 1-4C alkyl, 1-4C alkoxy, NO2 or halo);

R21 = 1-4C alkyl or optionally substituted aralkyl;

R31 = 3-benzyloxyphenyl or 4-benzyloxyphenyl;

X1 = halo or lower alkyl;

X2-X5 = H, halo, NO2 or lower alkyl;

R22 = lower alkyl and

R32 = aryl substituted by at least lower alkyl, lower alkoxy, aryl or aryloxy.

ACTIVITY - None given.

MECHANISM OF ACTION - None given.

USE - Optically active (II) is used as an intermediate for pharmaceuticals for treatment of urinary incontinence (see WO9714672) and agrochemicals.

ADVANTAGE - Optically active (II) having good optical purity is obtained.

Dwg.0/0

FS CPI

FA AB; GI; DCN

MC CPI: B10-A20; B10-A22; B10-B04B; B10-C04E; C10-A20; C10-A22; C10-B04B; C10-C04E

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